

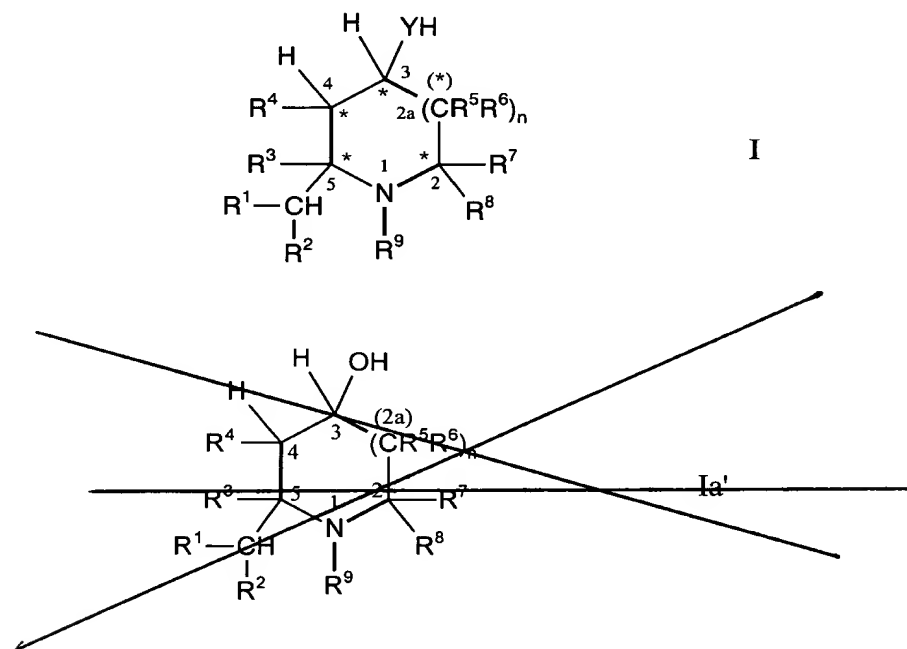
IN THE CLAIMS:

The following listing of claims is intended to replace all prior listings of claims.

LISTING OF CLAIMS

1-16. (canceled)

17. (Currently amended) A process for stereochemically controlled production of a compound corresponding to formula I Ia':



wherein the R¹R²CH group in the 5-position of the cyclic parent structure and the hydroxy group in the 3-position of the cyclic parent structure are each in the trans position relative to each other and wherein the substituent R⁴ in the 4-position and the hydroxy group in the 3-position of the cyclic parent structure are each in the cis position relative to each other, and wherein

n is 0 or 1,

R¹ is hydrogen;

R² is hydrogen;

R³ is hydrogen, and

R⁴ is hydrogen or lower alkyl, or

R³ and R⁴ also together are a C₃-C₆-

alkylene chain optionally containing 1 to 3 double bonds or together form the 7, 7-dimethylbicyclo[3.1.1] heptyl-system

R⁵ is hydrogen or lower alkyl, and

R⁶ is hydrogen, and

R⁷ is hydrogen, and

R⁸ is hydrogen;

a monocyclic or bicyclic ring system selected from the group consisting of cyclopropyl, cyclopentyl cyclohexyl, phenyl, p-bromophenyl and 3-indolyl;

lower alkyl; phenyl-lower alkyl or lower-alkoxy lower alkyl, or

R⁶ and R⁷ also together may form a bond, and

R⁵ and R⁸, together with the carbon atoms to which they are bonded, may form an aromatic C₆-ring system,

R⁹ is hydrogen; lower alkyl; phenyl-lower alkyl optionally substituted one to three times in the phenyl ring by lower alkyl, lower haloalkyl, lower alkoxy or lower haloalkoxy; or an amino protecting group, or

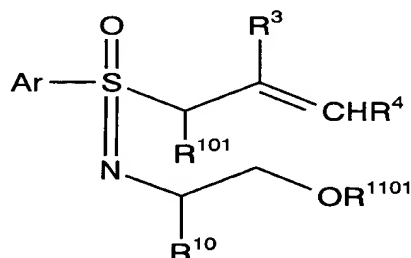
R⁸ and R⁹ also together may form a C₃-C₄-alkylene chain, and

Y is oxygen

or an acid addition salt thereof, wherein any reactive groups which may be present in said compound of Formula I Ia' may be blocked by suitable protecting groups,

said process comprising the steps of:

a) reacting a compound corresponding to formula II:



II

wherein

R³ and R⁴ have the above meanings,

R¹⁰¹ has the meaning given above for R¹

Ar represents phenyl optionally substituted one to three

times by lower alkyl,

R^{10} is lower alkyl, or phenyl optionally substituted once in the phenyl ring by lower alkyl or by hydroxy protected with a suitable protecting group, or phenyl-lower alkyl optionally substituted once in the phenyl ring by lower alkyl, and R^{1101} stands for a silyl protecting group,

successively with

- (i) a base for the deprotonation thereof,
- (ii) an organometallic reagent corresponding to the formula VII:



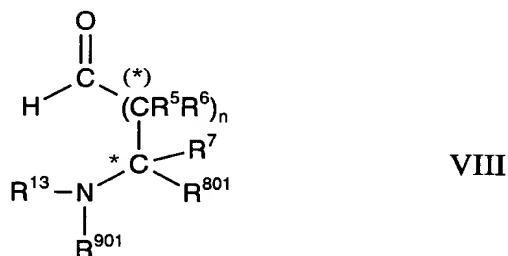
wherein

X is halogen,

M^2 is a tetravalent transition metal, and

R^{12} is lower alkyl, phenyl or phenyl-lower alkyl, and

(iii) a stereoisomer of a compound of the general formula VIII:



wherein

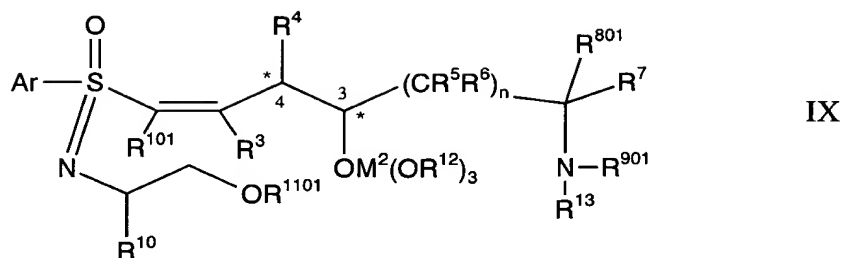
R^5 , R^6 , R^7 and n have the above meanings,

R^{801} has the meaning of R^8 , with any reactive groups, if necessary, being blocked by base-stable protecting groups,

R^{901} is hydrogen or together with R^{801} forms a C_3 - C_4 -alkylene chain, and

R^{13} is a base-labile amino protecting group which when cleaved leaves behind a nitrogen nucleophile,

to form a stereoisomer of a compound corresponding to the formula IX:

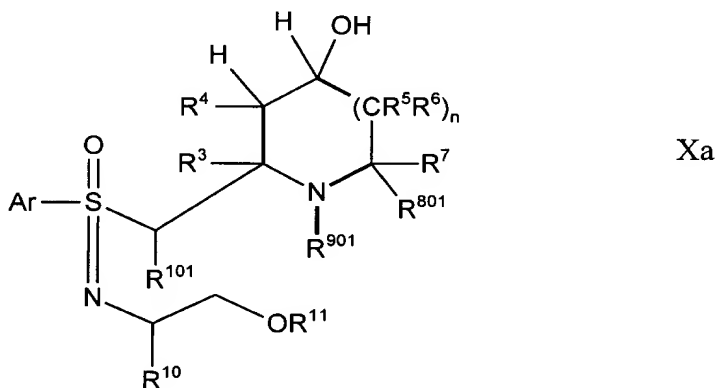


wherein

R^{101} , R^3 , R^4 , R^5 , R^6 , R^7 , R^{801} , R^{901} , R^{10} , R^{1101} , R^{12} , R^{13} , n , Ar and $M2$ have the above meanings,

and

- b) converting the compound of Formula IX by treatment with a base reagent for removing the group R^{13} , into a compound corresponding to formula Xa:



wherein

R^{101} , R^3 , R^4 , R^5 , R^6 , R^7 , R^{801} , R^{901} , R^{10} , n and Ar have the above meanings, and

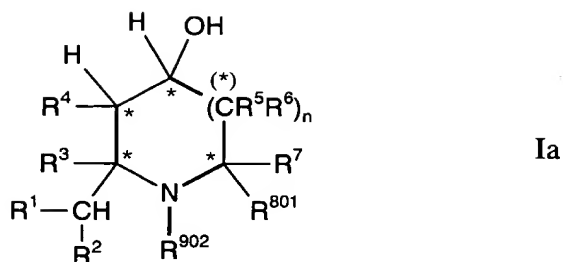
R^{11} is hydrogen or a silyl protecting group, and

if R^{901} is hydrogen, blocking the nitrogen atom in the cyclic parent structure of the resulting compound of Formula Xa with a base-stable protecting group, and

cleaving off any silyl protecting group R^{11} which may still be present;

and

- c) for the production of a compound corresponding to formula Ia:



wherein

R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^{801} and n have the above meanings, and

R^{902} stands for a base-stable protecting group or, together with R^{801} , for a C_3 - C_4 -alkylene chain,

reacting a compound corresponding to formula Xa or a compound produced by cleaving off the silyl protecting group R11 with samarium (II) iodide for the reductive cleavage of the sulfonimidoyl-alkyl bond,

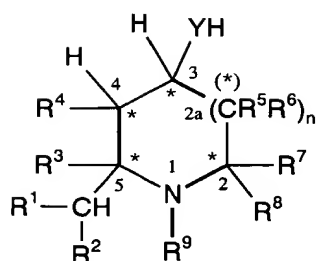
and

optionally cleaving off any protecting groups in compounds of Formula Ia,
and

optionally reacting the optionally released NH group in the 1-position of the cyclic parent structure with a reagent capable of N-alkylation or a reagent capable of amide formation or blocking the released NH group with an amino protecting group,

thereby obtaining said compound corresponding to Formula I Ia'.

18. (Currently amended) A process ~~according to claim 17~~, for stereochemically controlled production of ~~producing~~ a compound corresponding to formula I: Ib,



I

wherein the R¹R²CH group in the 5-position of the cyclic parent structure and the hydroxy group in the 3-position of the cyclic parent structure are each in the trans position relative to each other and wherein the substituent R⁴ in the 4-position and the hydroxy group in the 3-position of the cyclic parent structure are each in the cis position relative to each other, and wherein

n is 0 or 1,

R¹ is hydrogen;

R² is hydrogen;

R³ is hydrogen, and

R⁴ is hydrogen or lower alkyl, or

R³ and R⁴ also together are a C₃-C₆-

alkylene chain optionally containing 1 to 3 double bonds or together form the 7, 7-dimethylbicyclo[3.1.1] heptyl-system

R⁵ is hydrogen or lower alkyl, and

R⁶ is hydrogen, and

R⁷ is hydrogen, and

R⁸ is hydrogen;

a monocyclic or bicyclic ring system selected from the group consisting of cyclopropyl, cyclopentyl cyclohexyl, phenyl, p-bromophenyl and 3-indolyl;

lower alkyl; phenyl-lower alkyl or lower-alkoxy lower alkyl, or

R⁶ and R⁷ also together may form a bond, and

R⁵ and R⁸, together with the carbon atoms to which they are bonded, may form an aromatic C₆-ring system,

R⁹ is lower alkyl; phenyl-lower alkyl optionally

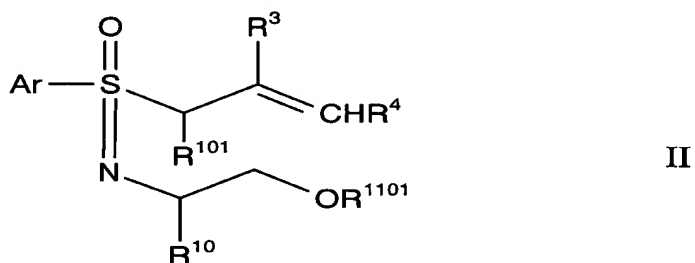
substituted one to three times in the phenyl ring by lower alkyl, lower haloalkyl, lower alkoxy or lower haloalkoxy; or an amino protecting group, or

R⁸ and R⁹ also together may form a C₃-C₄-alkylene chain, and
Y is oxygen

or an acid addition salt thereof, wherein any reactive groups which may be
present in said compound of Formula I Ia' may be blocked by suitable
protecting groups,

said process comprising the steps of:

a) reacting a compound corresponding to formula II:



wherein

R³ and R⁴ have the above meanings,

R¹⁰¹ has the meaning given above for R¹

Ar represents phenyl optionally substituted one to three
times by lower alkyl,

R¹⁰ is lower alkyl, or phenyl optionally substituted once
in the phenyl ring by lower alkyl or by hydroxy protected with a
suitable protecting group, or phenyl-lower alkyl optionally
substituted once in the phenyl ring by lower alkyl, and

R¹¹⁰¹ stands for a silyl protecting group,

successively with

(i) a base for the deprotonation thereof,

(ii) an organometallic reagent corresponding to the formula VII:



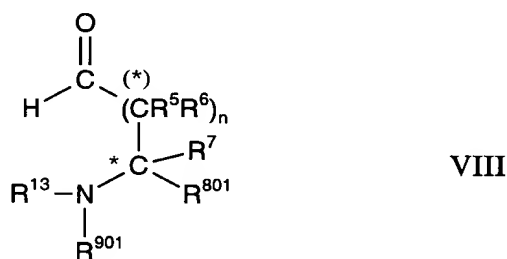
wherein

X is halogen,

M² is a tetravalent transition metal, and

R¹² is lower alkyl, phenyl or phenyl-lower alkyl, and

(iii) a stereoisomer of a compound of the general formula VIII:



wherein

R⁵, R⁶, R⁷ and n have the above meanings,

R⁸⁰¹ has the meaning of R⁸, with any reactive groups, if

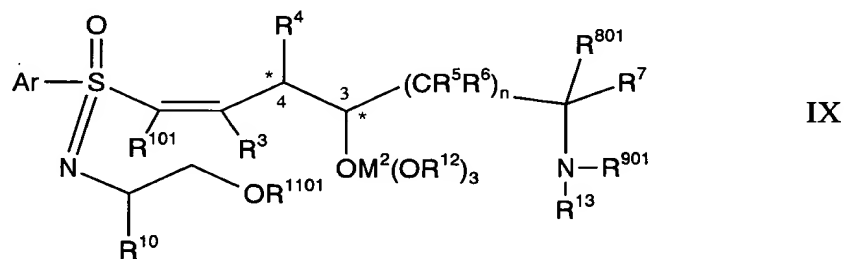
necessary, being blocked by base-stable protecting groups,

R⁹⁰¹ together with R⁸⁰¹ forms a C₃-C₄-

alkylene chain, and

R¹³ is a base-labile amino protecting group which when cleaved leaves behind a nitrogen nucleophile,

to form a stereoisomer of a compound corresponding to the formula IX:

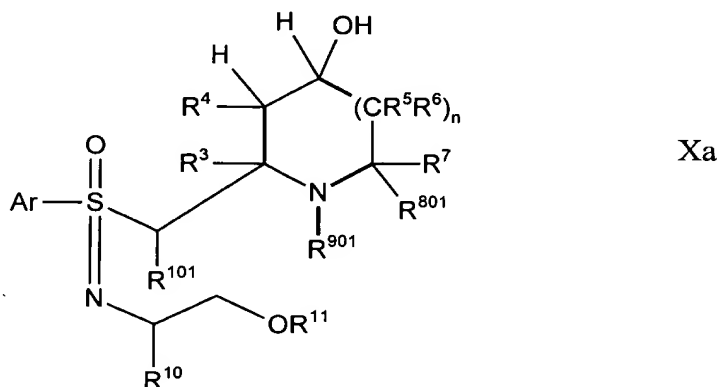


wherein

R¹⁰¹, R³, R⁴, R⁵, R⁶, R⁷, R⁸⁰¹, R⁹⁰¹, R¹⁰, R¹¹⁰¹, R¹², R¹³, n, Ar and M² have the above meanings,

and

- c) converting the compound of Formula IX by treatment with a base reagent for removing the group R^{13} , into a compound corresponding to formula Xa:



wherein

R^{101} , R^3 , R^4 , R^5 , R^6 , R^7 , R^{801} , R^{901} , R^{10} , n and Ar have the above meanings,

and

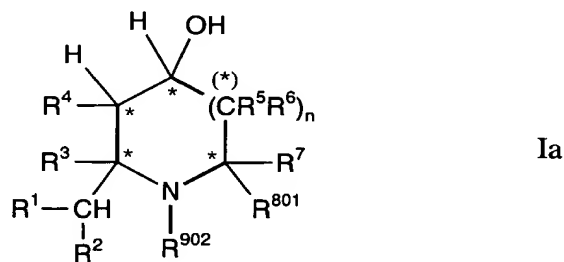
R^{11} is hydrogen or a silyl protecting group,

and

cleaving off any silyl protecting group R^{11} which may still be present;

and

- c) for the production of a compound corresponding to formula Ia:



wherein

R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^{801} and n have the above meanings, and

R^{902} stands for a base-stable protecting group or, together with R^{801} , for a C_3 - C_4 -alkylene chain,

reacting a compound corresponding to formula Xa or a compound produced by cleaving off the silyl protecting group R11 with samarium (II) iodide for the reductive cleavage of the sulfonimidoyl-alkyl bond,

and

~~said process comprising the steps of~~

- (a) cleaving any protecting groups which may be present, and
- (b) reacting any free NH group in the 1-position of the cyclic parent structure with
 - (i) a reagent capable of N-alkylation, or
 - (ii) a reagent capable of amide formation, or
 - (iii) a reagent which blocks the free NH group with an amino protecting group.

19. (canceled)

20. (Previously presented) A process according to claim 17, wherein said base-labile amino protecting group is a fluoren-9-yl-methyloxy-carbonyl radical.

21. (Currently amended) A process according to claim 17, wherein the base reagent is ~~comprises~~ piperidine.

22. (Previously presented) A process according to claim 17, wherein toluene is used as a solvent in step a).

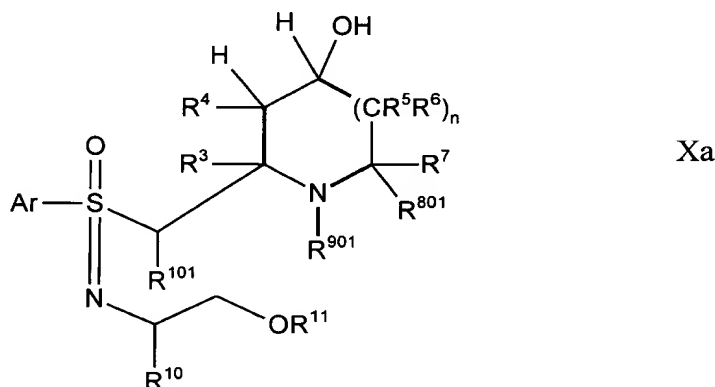
23. (canceled)

24. (Currently amended) A process according to claim 17, wherein R⁴ is other than hydrogen in each of the compounds corresponding to formulas I ~~Ia'~~, Ia, ~~I-b~~, II, IX and Xa.

25. (Previously presented) A process according to claim 17, wherein R^{1101} is a tert. butyl-dimethylsilyl protecting group or a trimethylsilyl protecting group.

26. (canceled)

27. (Currently amended) A compound corresponding to formula Xa:



wherein

n is 0 or 1,

R^3 is hydrogen, and

R^4 is hydrogen or lower alkyl or

R^3 and R^4 also together are a C_3 - C_6 -alkylene chain optionally containing 1 to 3 double bonds or together form the 7, 7-dimethyl [3.1.1] heptyl-system

R^5 is hydrogen or lower alkyl, and

R^6 is hydrogen, and

R^7 is hydrogen,

R^{10} is lower alkyl, or phenyl optionally substituted once in the phenyl ring by lower alkyl or by hydroxy protected with a suitable protecting group, or phenyl-lower alkyl optionally substituted once in the phenyl ring by lower alkyl,

R^{11} is hydrogen or a silyl protecting group,

R^{101} is hydrogen;

R^{801} is hydrogen;

a monocyclic or bicyclic ring system selected from the group consisting of cyclopropyl, cyclopentyl, cyclohexyl, phenyl, p-bromophenyl and 3-indolyl;

lower alkyl; phenyl-lower alkyl or lower-alkoxy lower alkyl, with the proviso that when $n=0$, R^{801} is hydrogen,

a monocyclic or bicyclic ring system selected from the group consisting of cyclopropyl, cyclopentyl, cyclohexyl, phenyl, p-bromophenyl and 3-indolyl;

lower alkyl; or lower-alkoxy lower alkyl, not phenyl-lower alkyl,

or

R^6 and R^7 also together may form a bond, and

R^5 and R^{801} , together with the carbon atoms to which they are bonded, may form an aromatic C_6 -ring system

R^{901} is hydrogen or together with R^{801} forms a C_3 - C_4 -alkylene chain, and

Ar represents phenyl optionally substituted one to three times by lower alkyl,

wherein the sulfur-containing substituent in the 5-position and the hydroxy group in the 3-position of the cyclic parent structure are in the trans position relative to each other, and

wherein the substituent R^4 in the 4-position and the hydroxy group in the 3-position of the cyclic parent structure are in the cis position relative to each other, or

a compound obtainable by removal of any protecting groups which may be present in said compound corresponding to formula Xa, or

an acid addition salt formed with a free amino group which may be present in said compound corresponding to formula Xa.

28. (Previously presented) A compound according to claim 27, wherein the cyclic structure of formula Xa contains a secondary nitrogen atom protected by a tert. butoxycarbonyl protecting group.

29. (Previously presented) A compound according to claim 27, wherein R^{801} and R^{901} together form a C_3 - C_4 -alkylene chain.

30. (canceled)

31. (Currently amended) A method of reductive desulfurisation of an alkyl-sulfonimidoyl compound corresponding to formula Xa of claim 27, wherein R³, R⁴, R⁵, R⁶, R⁷, R¹⁰, R¹¹, R¹⁰¹, R⁸⁰¹, R⁹⁰¹ and Ar have the meanings given in claim 17 27, said method comprising reducing said alkyl-sulfonimidoyl compound with samarium (II) iodide.

32. (Previously presented) A process for stereochemically controlled production of an azacyclic compound according to claim 17, wherein the compound of formula II is produced from a compound selected from the group consisting of (RS)-4(S)-isopropyl-2-p-toluoyl-4,5-dihydro[1,2,6,3]oxathiazol-2-oxide, (Ss)-4(S)-isopropyl-2-p-toluoyl-4,5-dihydro[1,2,6,3]oxathiazol-2-oxide, (Rs)-4(R)-isopropyl-2-p-toluoyl-4,5-dihydro[1,2,6,3]oxa-thiazol-2-oxide, and (SS)-4(R)-isopropyl-2-p-toluoyl-4,5-dihydro[1,2,6,3]-oxathiazol-2-oxide.

33. (Previously presented) A process for stereochemically controlled production of an azacyclic compound according to claim 17, wherein the compound of formula II is produced from [SS,N(1S)]-N-[1-[[tert.-butyldimethylsilyl)-oxy]methyl]-2-methylpropyl]-S-methyl-S-(4-methylphenyl)-sulfoximide or [RS,N(1R)]-N-[1-[[tert.-butyldimethylsilyl)oxy]-methyl]-2-methylpropyl]-S-methyl-S-(4-methylphenyl)sulfoximide.